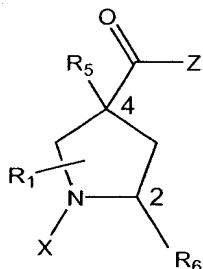


What is Claimed Is:

1. A compound having the formula



(1)

5

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

- 10 R₁ represents an H, or a functional group, and can be attached to the molecule at positions 2, 3 or 5;

R₂ represents an H or a functional group;

R₅ represents N₃ or NR₂Y;

R₆ represents a carboxylic acid or a strongly activated ester ; and

- 15 the stereochemical configuration at positions 2 and 4 and of the carbon bearing R₁ (if R₁ is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).

2. The compound of Claim 1, wherein R₅ is N₃.

3. The compound of Claim 1, wherein R₅ is NR₂Y.

- 20 4. The compound of Claim 1, wherein Z is OMe.

5. The compound of Claim 1, wherein X is benzylcarbamate.

6. The compound of Claim 1, wherein Y is 2-nitrobenzenesulfonamide.

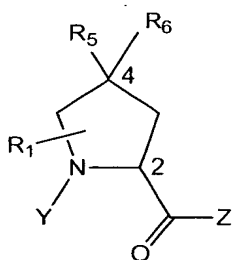
7. The compound of Claim 1, wherein Y is 9-fluoroenylmethylcarbamate.

8. The compound of Claim 1, wherein X is benzylcarbamate, R₅ is NR₂Y, R₂ is H,

- 25 Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R₆ is a carboxylic acid.

9. The compound of Claim 1, wherein R₁ is an alkene.

10. The compound of Claim 1, wherein R_1 is a protected carboxylate.
11. The compound of Claim 1, wherein R_1 is a protected alcohol.
12. The compound of Claim 1, wherein R_1 is a protected thiol.
13. A compound having the formula



5

(2)

where:

- X represents a first amine protecting group;
- 10 Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3 or 5;
- R_2 represents an H or a functional group;
- 15 R_5 represents N_3 or NR_2X ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at positions 2 and 4 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
- 20 14. The compound of Claim 13, wherein R_5 is N_3 .
- 15. The compound of Claim 13, wherein R_5 is NR_2X .
- 16. The compound of Claim 13, wherein Z is OMe.
- 17. The compound of Claim 13, wherein X is benzylcarbamate.
- 18. The compound of Claim 13, wherein Y is 2-nitrobenzenesulfonamide.
- 25 19. The compound of Claim 13, wherein Y is 9-fluorenylmethylcarbamate.

20. The compound of Claim 13, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

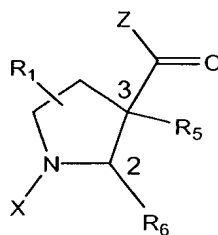
21. The compound of Claim 13, wherein R_1 is an alkene.

5 22. The compound of Claim 13, wherein R_1 is a protected carboxylate.

23. The compound of Claim 13, wherein R_1 is a protected alcohol.

24. The compound of Claim 13, wherein R_1 is a protected thiol.

25. A compound having the formula



(3)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

15 Z represents a weak leaving group;

R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 4 or 5;

R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2Y ;

20 R_6 represents a carboxylic acid or a strongly activated ester ; and

the stereochemical configuration at positions 2 and 3 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).

26. The compound of Claim 25, wherein R_5 is N_3 .

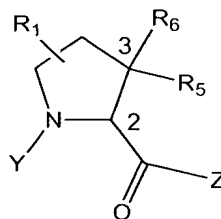
25 27. The compound of Claim 25, wherein R_5 is NR_2Y .

28. The compound of Claim 25, wherein Z is OMe .

29. The compound of Claim 25, wherein X is benzylcarbamate.

30. The compound of Claim 25, wherein Y is 2-nitrobenzenesulfonamide.

31. The compound of Claim 25, wherein Y is 9-fluoroenylmethylcarbamate.
32. The compound of Claim 25, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.
33. The compound of Claim 25, wherein R_1 is an alkene.
34. The compound of Claim 25, wherein R_1 is a protected carboxylate.
35. The compound of Claim 25, wherein R_1 is a protected alcohol.
36. The compound of Claim 25, wherein R_1 is a protected thiol.
37. A compound having the formula



(4)

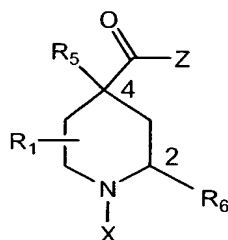
where:

- X represents a first amine protecting group;
- Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 4 or 5;
- R_2 represents an H or a functional group;
- R_5 represents N_3 or NR_2X ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at positions 2 and 3 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
38. The compound of Claim 37, wherein R_5 is N_3 .
39. The compound of Claim 37, wherein R_5 is NR_2X .
40. The compound of Claim 37, wherein Z is OMe .
41. The compound of Claim 37, wherein X is benzylcarbamate.

42. The compound of Claim 37, wherein Y is 2-nitrobenzenesulfonamide.
 43. The compound of Claim 37, wherein Y is 9-fluoroenylmethylcarbamate.
 44. The compound of Claim 37, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H,
 5 Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

45. The compound of Claim 37, wherein R_1 is an alkene.
 46. The compound of Claim 37, wherein R_1 is a protected carboxylate.
 47. The compound of Claim 37, wherein R_1 is a protected alcohol.
 48. The compound of Claim 37, wherein R_1 is a protected thiol.

- 10 49. A compound having the formula

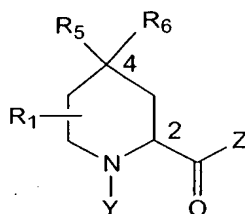


(5)

where:

- X represents a first amine protecting group;
 15 Y represents a second amine protecting group;
 Z represents a weak leaving group;
 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 5 or 6;
 R_2 represents an H or a functional group;
 20 R_5 represents N_3 or NR_2Y ;
 R_6 represents a carboxylic acid or a strongly activated ester ; and
 the stereochemical configuration at positions 2 and 4 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
 25 50. The compound of Claim 49, wherein R_5 is N_3 .
 51. The compound of Claim 49, wherein R_5 is NR_2Y .
 52. The compound of Claim 49, wherein Z is OMe .
 53. The compound of Claim 49, wherein X is benzylcarbamate.

54. The compound of Claim 49, wherein Y is 2-nitrobenzenesulfonamide.
55. The compound of Claim 49, wherein Y is 9-fluoroenylmethylcarbamate.
56. The compound of Claim 49, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.
57. The compound of Claim 49, wherein R_1 is an alkene.
58. The compound of Claim 49, wherein R_1 is a protected carboxylate.
59. The compound of Claim 49, wherein R_1 is a protected alcohol.
60. The compound of Claim 49, wherein R_1 is a protected thiol.
61. A compound having the formula

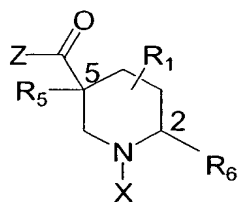


(6)

where:

- X represents a first amine protecting group;
- 15 Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 5 or 6;
- R_2 represents an H or a functional group;
- 20 R_5 represents N_3 or NR_2X ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at positions 2 and 4 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
- 25 62. The compound of Claim 61, wherein R_5 is N_3 .
63. The compound of Claim 61, wherein R_5 is NR_2X .
64. The compound of Claim 61, wherein Z is OMe.
65. The compound of Claim 61, wherein X is benzylcarbamate.

66. The compound of Claim 61, wherein Y is 2-nitrobenzenesulfonamide.
67. The compound of Claim 61, wherein Y is 9-fluoroenylmethylcarbamate.
68. The compound of Claim 61, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.
69. The compound of Claim 61, wherein R_1 is an alkene.
70. The compound of Claim 61, wherein R_1 is a protected carboxylate.
71. The compound of Claim 61, wherein R_1 is a protected alcohol.
72. The compound of Claim 61, wherein R_1 is a protected thiol.
73. A compound having the formula



(7)

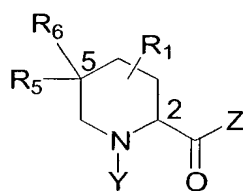
where:

- X represents a first amine protecting group;
- Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4 or 6;
- R_2 represents an H or a functional group;
- R_5 represents N_3 or NR_2Y ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at positions 2 and 5 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
74. The compound of Claim 73, wherein R_5 is N_3 .
75. The compound of Claim 73, wherein R_5 is NR_2Y .
76. The compound of Claim 73, wherein Z is OMe.
77. The compound of Claim 73, wherein X is benzylcarbamate.

78. The compound of Claim 73, wherein Y is 2-nitrobenzenesulfonamide.
 79. The compound of Claim 73, wherein Y is 9-fluoroenylmethylcarbamate.
 80. The compound of Claim 73, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H,
 Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic
 5 acid.

81. The compound of Claim 73, wherein R_1 is an alkene.
 82. The compound of Claim 73, wherein R_1 is a protected carboxylate.
 83. The compound of Claim 73, wherein R_1 is a protected alcohol.
 84. The compound of Claim 73, wherein R_1 is a protected thiol.

- 10 85. A compound having the formula



(8)

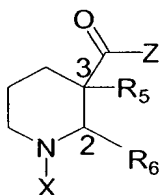
where:

- 15 X represents a first amine protecting group;
 Y represents a second amine protecting group;
 Z represents a weak leaving group;
 R_1 represents an H, or a functional group, and can be attached to the molecule at
 positions 2, 3, 5 or 6;
 20 R_2 represents an H or a functional group;
 R_5 represents N_3 or NR_2X ;
 R_6 represents a carboxylic acid or a strongly activated ester ; and
 the stereochemical configuration at positions 2 and 5 and of the carbon bearing R_1
 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S),
 25 (R,S,R), (R,R,S) or (R,R,R).
 86. The compound of Claim 85, wherein R_5 is N_3 .
 87. The compound of Claim 85, wherein R_5 is NR_2X .
 88. The compound of Claim 85, wherein Z is OMe .
 89. The compound of Claim 85, wherein X is benzylcarbamate.

90. The compound of Claim 85, wherein Y is 2-nitrobenzenesulfonamide.
 91. The compound of Claim 85, wherein Y is 9-fluoroenylmethylcarbamate.
 92. The compound of Claim 85, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H,
 5 Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

93. The compound of Claim 85, wherein R_1 is an alkene.
 94. The compound of Claim 85, wherein R_1 is a protected carboxylate.
 95. The compound of Claim 85, wherein R_1 is a protected alcohol.
 96. The compound of Claim 85, wherein R_1 is a protected thiol.

- 10 97. A compound having the formula

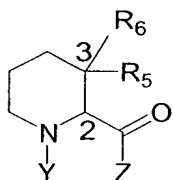


(9)

where:

- X represents a first amine protecting group;
 15 Y represents a second amine protecting group;
 Z represents a weak leaving group;
 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 4, 5 or 6;
 R_2 represents an H or a functional group;
 20 R_5 represents N_3 or NR_2Y ;
 R_6 represents a carboxylic acid or a strongly activated ester ; and
 the stereochemical configuration at positions 2 and 3 and of the carbon bearing R_1 (if R_1 is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
 25 98. The compound of Claim 97, wherein R_5 is N_3 .
 99. The compound of Claim 97, wherein R_5 is NR_2Y .
 100. The compound of Claim 97, wherein Z is OMe.
 101. The compound of Claim 97, wherein X is benzylcarbamate.
 102. The compound of Claim 97, wherein Y is 2-nitrobenzenesulfonamide.

103. The compound of Claim 97, wherein Y is 9-fluoroenylmethylcarbamate.
104. The compound of Claim 97, wherein X is benzylcarbamate, R₅ is NR₂Y, R₂ is H, Y is 9-fluoroenylmethylcarbamate, Z is –OMe, and R₆ is a carboxylic acid.
- 5 105. The compound of Claim 97, wherein R₁ is an alkene.
106. The compound of Claim 97, wherein R₁ is a protected carboxylate.
107. The compound of Claim 97, wherein R₁ is a protected alcohol.
108. The compound of Claim 97, wherein R₁ is a protected thiol.
109. A compound having the formula



(10)

where:

- X represents a first amine protecting group;
- Y represents a second amine protecting group;
- 15 Z represents a weak leaving group;
- R₁ represents an H, or a functional group, and can be attached to the molecule at positions 2, 4, 5 or 6;
- R₂ represents an H or a functional group;
- R₅ represents N₃ or NR₂X;
- 20 R₆ represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at positions 2 and 3 and of the carbon bearing R₁ (if R₁ is not H) can be any one of (S,S,S), (S,S,R), (S,R,S), (S,R,R), (R,S,S), (R,S,R), (R,R,S) or (R,R,R).
110. The compound of Claim 109, wherein R₅ is N₃.
- 25 111. The compound of Claim 109, wherein R₅ is NR₂X.
112. The compound of Claim 109, wherein Z is OMe.
113. The compound of Claim 109, wherein X is benzylcarbamate.
114. The compound of Claim 109, wherein Y is 2-nitrobenzenesulfonamide.
115. The compound of Claim 109, wherein Y is 9-fluoroenylmethylcarbamate.

116. The compound of Claim 109, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

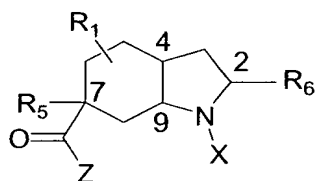
117. The compound of Claim 109, wherein R_1 is an alkene.

5 118. The compound of Claim 109, wherein R_1 is a protected carboxylate.

119. The compound of Claim 109, wherein R_1 is a protected alcohol.

120. The compound of Claim 109, wherein R_1 is a protected thiol.

121. A compound having the formula



(11)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

15 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5, 6, 8 or 9;

R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2Y ;

R_6 represents a carboxylic acid or a strongly activated ester ; and

20 the stereochemical configuration at positions 2, 4, 7, 9 and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

122. The compound of Claim 121, wherein R_5 is N_3 .

123. The compound of Claim 121, wherein R_5 is NR_2Y .

124. The compound of Claim 121, wherein Z is OMe .

25 125. The compound of Claim 121, wherein X is benzylcarbamate.

126. The compound of Claim 121, wherein Y is 2-nitrobenzenesulfonamide.

127. The compound of Claim 121, wherein Y is 9-fluoroenylmethylcarbamate.

128. The compound of Claim 121, wherein X is benzylcarbamate, R₅ is NR₂Y, R₂ is H, Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R₆ is a carboxylic acid.

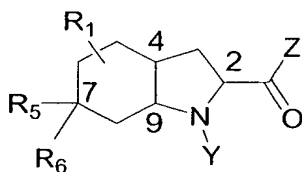
129. The compound of Claim 121, wherein R₁ is an alkene.

5 130. The compound of Claim 121, wherein R₁ is a protected carboxylate.

131. The compound of Claim 121, wherein R₁ is a protected alcohol.

132. The compound of Claim 121, wherein R₁ is a protected thiol.

133. A compound having the formula



10

(12)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

15 R₁ represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5, 6, 8 or 9;

R₂ represents an H or a functional group;

R₅ represents N₃ or NR₂X;

R₆ represents a carboxylic acid or a strongly activated ester ; and

20 the stereochemical configuration at positions 2, 4, 7, 9 and of the carbon bearing R₁ (if R₁ is not H) can be any of the 32 combinations of (R) and (S).

134. The compound of Claim 133, wherein R₅ is N₃.

135. The compound of Claim 133, wherein R₅ is NR₂X.

136. The compound of Claim 133, wherein Z is OMe.

25 137. The compound of Claim 133, wherein X is benzylcarbamate.

138. The compound of Claim 133, wherein Y is 2-nitrobenzenesulfonamide.

139. The compound of Claim 133, wherein Y is 9-fluoroenylmethylcarbamate.

140. The compound of Claim 133, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

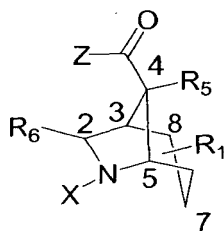
141. The compound of Claim 133, wherein R_1 is an alkene.

5 142. The compound of Claim 133, wherein R_1 is a protected carboxylate.

143. The compound of Claim 133, wherein R_1 is a protected alcohol.

144. The compound of Claim 133, wherein R_1 is a protected thiol.

145. A compound having the formula



10

(13)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

15 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 5, 6, 7 or 8;

R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2Y ;

R_6 represents a carboxylic acid or a strongly activated ester ; and

20 the stereochemical configuration at the positions 2, 3, 4 and 5, and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

146. The compound of Claim 145, wherein R_5 is N_3 .

147. The compound of Claim 145, wherein R_5 is NR_2Y .

148. The compound of Claim 145, wherein Z is OMe .

25 149. The compound of Claim 145, wherein X is benzylcarbamate.

150. The compound of Claim 145, wherein Y is 2-nitrobenzenesulfonamide.

151. The compound of Claim 145, wherein Y is 9-fluoroenylmethylcarbamate.

152. The compound of Claim 145, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

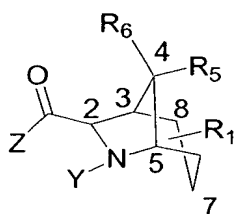
153. The compound of Claim 145, wherein R_1 is an alkene.

5 154. The compound of Claim 145, wherein R_1 is a protected carboxylate.

155. The compound of Claim 145, wherein R_1 is a protected alcohol.

156. The compound of Claim 145, wherein R_1 is a protected thiol.

157. A compound having the formula



(14)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

15 Z represents a weak leaving group;

R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 5, 6, 7 or 8;

R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2X ;

20 R_6 represents a carboxylic acid or a strongly activated ester ; and the stereochemical configuration at the positions 2, 3, 4 and 5, and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

158. The compound of Claim 157, wherein R_5 is N_3 .

159. The compound of Claim 157, wherein R_5 is NR_2X .

25 160. The compound of Claim 157, wherein Z is OMe .

161. The compound of Claim 157, wherein X is benzylcarbamate.

162. The compound of Claim 157, wherein Y is 2-nitrobenzenesulfonamide.

163. The compound of Claim 157, wherein Y is 9-fluoroenylmethylcarbamate.

164. The compound of Claim 157, wherein X is benzylcarbamate, R₅ is NR₂X, R₂ is H, Y is 9-fluoroenylmethylcarbamate, Z is –OMe, and R₆ is a carboxylic acid.

165. The compound of Claim 157, wherein R₁ is an alkene.

5 166. The compound of Claim 157, wherein R₁ is a protected carboxylate.

167. The compound of Claim 157, wherein R₁ is a protected alcohol.

168. The compound of Claim 157, wherein R₁ is a protected thiol.

169. A method of synthesizing *bis* peptides comprising the steps of:

- 1) providing a solid support;
- 10 2) activating a first *bis* amino acid or naturally occurring amino acid;
- 3) attaching the *bis* amino acid or naturally occurring amino acid to the support;
- 4) removing the leading edge amine protecting group if a *bis* amino acid is used, or the amine protecting group if a naturally occurring amino acid is used;
- 15 5) activating and attaching a next *bis* amino acid or a next naturally occurring amino acid to the leading edge amine of the *bis* amino acid or amine of the naturally occurring amino acid; and
- 6) repeating steps 4 and 5 as necessary to achieve the desired chain length;
- 7) detaching the synthesized *bis* peptide from the support; and
- 20 8) isolating the synthesized *bis* peptide,

where the *bis* peptide synthesized in the above manner has at least two contiguous *bis* amino acids, and a rigidification step is carried out either after step 4 or after detachment of the *bis* peptide from the solid support.

170. The method of Claim 169, further comprising the step of modifying or adding a functional group, after step 5.

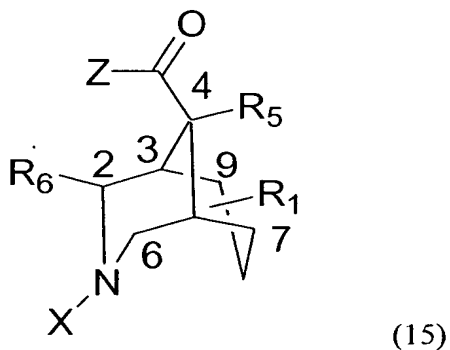
171. A method of synthesizing *bis* peptides comprising the steps of:

- 1) providing a *bis*-amino acid or *bis*-peptide fragment containing a mixture of *bis*-amino acid and naturally occurring amino acid with an unprotected leading edge amine and a protected trailing edge carboxylic acid;
- 30 2) providing a *bis*-s or *bis*-peptide fragment containing a mixture of *bis*-amino acid and naturally occurring amino acids with a protected leading edge amine and an activated ester;

- 3) coupling the two fragments in solution;
 - 4) isolating the synthesized *bis*-peptide;
 - 5) removing the leading edge amine protecting group or the trailing end carboxylic acid protecting group; and
 - 5 6) repeating steps 1,2,3,4 to achieve the desired chain length;
- where the *bis* peptide synthesized in the above manner has at least two contiguous *bis* amino acids, and a rigidification step is carried out either after step 3 or after detachment of the *bis* peptide from the solid support.

172. The method of Claim 171, further comprising the step of modifying or adding a functional group, after step 3.

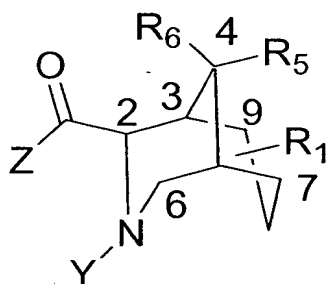
173. A compound having the formula



where:

- 15 X represents a first amine protecting group;
- Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R₁ represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 5, 6, 7, 8 or 9;
- 20 R₂ represents an H or a functional group;
- R₅ represents N₃ or NR₂Y;
- R₆ represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at the positions 2, 3, 4 and 5, and of the carbon bearing R₁ (if R₁ is not H) can be any of the 32 combinations of (R) and (S).
- 25 174. The compound of Claim 173, wherein R₅ is N₃.
175. The compound of Claim 173, wherein R₅ is NR₂Y.

176. The compound of Claim 173, wherein Z is OMe.
177. The compound of Claim 173, wherein X is benzylcarbamate.
178. The compound of Claim 173, wherein Y is 2-nitrobenzenesulfonamide.
179. The compound of Claim 173, wherein Y is 9-fluoroenylmethylcarbamate.
- 5 180. The compound of Claim 173, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R_6 is a carboxylic acid.
181. The compound of Claim 173, wherein R_1 is an alkene.
182. The compound of Claim 173, wherein R_1 is a protected carboxylate.
- 10 183. The compound of Claim 173, wherein R_1 is a protected alcohol.
184. The compound of Claim 173, wherein R_1 is a protected thiol.
185. A compound having the formula

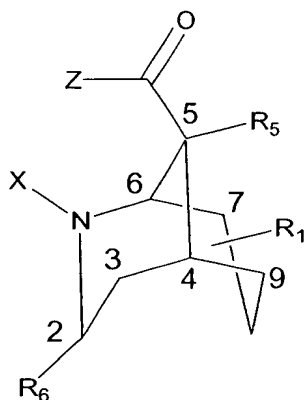


(16)

15 where:

- X represents a first amine protecting group;
- Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at
- 20 positions 2, 3, 5, 6, 7, 8 or 9;
- R_2 represents an H or a functional group;
- R_5 represents N_3 or NR_2X ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at the positions 2, 3, 4 and 5, and of the carbon
- 25 bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).
186. The compound of Claim 185, wherein R_5 is N_3 .
187. The compound of Claim 185, wherein R_5 is NR_2X .

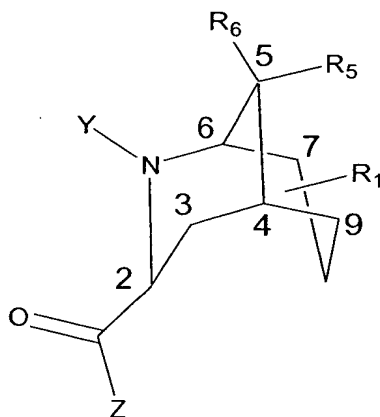
188. The compound of Claim 185, wherein Z is OMe.
189. The compound of Claim 185, wherein X is benzylcarbamate.
190. The compound of Claim 185, wherein Y is 2-nitrobenzenesulfonamide.
191. The compound of Claim 185, wherein Y is 9-fluoroenylmethylcarbamate.
- 5 192. The compound of Claim 185, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.
193. The compound of Claim 185, wherein R_1 is an alkene.
194. The compound of Claim 185, wherein R_1 is a protected carboxylate.
- 10 195. The compound of Claim 185, wherein R_1 is a protected alcohol.
196. The compound of Claim 185, wherein R_1 is a protected thiol.
197. A compound having the formula



(17)

- 15 where:
- X represents a first amine protecting group;
- Y represents a second amine protecting group;
- Z represents a weak leaving group;
- R_1 represents an H, or a functional group, and can be attached to the molecule at
- 20 positions 2, 3, 4, 6, 7, 8 or 9;
- R_2 represents an H or a functional group;
- R_5 represents N_3 or NR_2Y ;
- R_6 represents a carboxylic acid or a strongly activated ester ; and
- the stereochemical configuration at the positions 2, 4, 5 and 6, and of the carbon
- 25 bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

198. The compound of Claim 197, wherein R_5 is N_3 .
199. The compound of Claim 197, wherein R_5 is NR_2Y .
200. The compound of Claim 197, wherein Z is OMe .
201. The compound of Claim 197, wherein X is benzylcarbamate.
- 5 202. The compound of Claim 197, wherein Y is 2-nitrobenzenesulfonamide.
203. The compound of Claim 197, wherein Y is 9-fluoroenylmethylcarbamate.
204. The compound of Claim 197, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H , Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.
- 10 205. The compound of Claim 197, wherein R_1 is an alkene.
206. The compound of Claim 197, wherein R_1 is a protected carboxylate.
207. The compound of Claim 197, wherein R_1 is a protected alcohol.
208. The compound of Claim 197, wherein R_1 is a protected thiol.
- 15 209. A compound having the formula



(18)

where:

- 20 X represents a first amine protecting group;
 Y represents a second amine protecting group;
 Z represents a weak leaving group;
 R_1 represents an H , or a functional group, and can be attached to the molecule at positions 2, 3, 4, 6, 7, 8 or 9;
- 25 R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2X ;

R_6 represents a carboxylic acid or a strongly activated ester ; and

the stereochemical configuration at the positions 2, 4, 5 and 6, and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

5 210. The compound of Claim 209, wherein R_5 is N_3 .

211. The compound of Claim 209, wherein R_5 is NR_2X .

212. The compound of Claim 209, wherein Z is OMe.

213. The compound of Claim 209, wherein X is benzylcarbamate.

214. The compound of Claim 209, wherein Y is 2-nitrobenzenesulfonamide.

10 215. The compound of Claim 209, wherein Y is 9-fluoroenylmethylcarbamate.

216. The compound of Claim 209, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

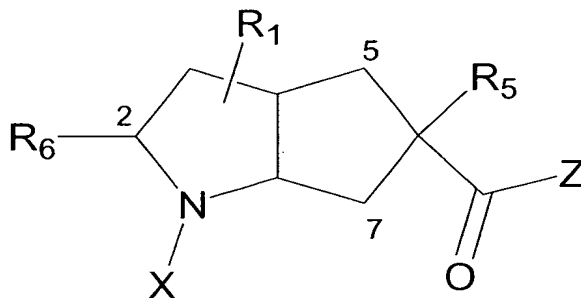
217. The compound of Claim 209, wherein R_1 is an alkene.

15 218. The compound of Claim 209, wherein R_1 is a protected carboxylate.

219. The compound of Claim 209, wherein R_1 is a protected alcohol.

220. The compound of Claim 209, wherein R_1 is a protected thiol.

221. A compound having the formula



(19)

where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

25 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5, 7 or 8;

R_2 represents an H or a functional group;

R_5 represents N_3 or NR_2Y ;

R_6 represents a carboxylic acid or a strongly activated ester ; and

the stereochemical configuration at the positions 2, 4, 6 and 8, and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

5 222. The compound of Claim 221, wherein R_5 is N_3 .

223. The compound of Claim 221, wherein R_5 is NR_2Y .

224. The compound of Claim 221, wherein Z is OMe.

225. The compound of Claim 221, wherein X is benzylcarbamate.

226. The compound of Claim 221, wherein Y is 2-nitrobenzenesulfonamide.

10 227. The compound of Claim 221, wherein Y is 9-fluoroenylmethylcarbamate.

228. The compound of Claim 221, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H, Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R_6 is a carboxylic acid.

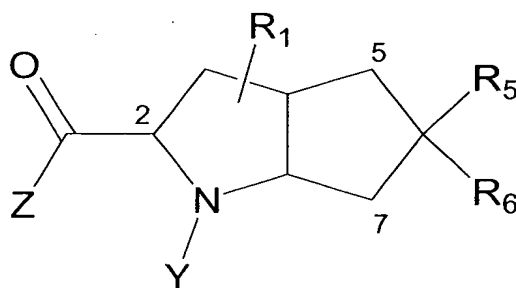
229. The compound of Claim 221, wherein R_1 is an alkene.

15 230. The compound of Claim 221, wherein R_1 is a protected carboxylate.

231. The compound of Claim 221, wherein R_1 is a protected alcohol.

232. The compound of Claim 221, wherein R_1 is a protected thiol.

233. A compound having the formula



20

(20)

where:

X represents a first amine protecting group;

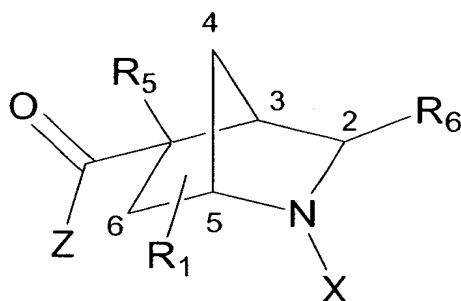
Y represents a second amine protecting group;

25 Z represents a weak leaving group;

R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5, 7 or 8;

- R_2 represents an H or a functional group;
 R_5 represents N_3 or NR_2X ;
 R_6 represents a carboxylic acid or a strongly activated ester ; and
the stereochemical configuration at the positions 2, 4, 6 and 8, and of the carbon
5 bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

234. The compound of Claim 233, wherein R_5 is N_3 .
235. The compound of Claim 233, wherein R_5 is NR_2X .
236. The compound of Claim 233, wherein Z is OMe.
237. The compound of Claim 233, wherein X is benzylcarbamate.
10 238. The compound of Claim 233, wherein Y is 2-nitrobenzenesulfonamide.
239. The compound of Claim 233, wherein Y is 9-fluoroenylmethylcarbamate.
240. The compound of Claim 233, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is H,
Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R_6 is a carboxylic acid.
15 241. The compound of Claim 233, wherein R_1 is an alkene.
242. The compound of Claim 233, wherein R_1 is a protected carboxylate.
243. The compound of Claim 233, wherein R_1 is a protected alcohol.
244. The compound of Claim 233, wherein R_1 is a protected thiol.
245. A compound having the formula



20

(21)

where:

- X represents a first amine protecting group;
Y represents a second amine protecting group;
25 Z represents a weak leaving group;
 R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5 or 6;

R_2 represents an H or a functional group;
 R_5 represents N_3 or NR_2Y ;
 R_6 represents a carboxylic acid or a strongly activated ester ; and
the stereochemical configuration at the positions 2, 3, 5 and 7, and of the carbon
5 bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).

246. The compound of Claim 245, wherein R_5 is N_3 .

247. The compound of Claim 245, wherein R_5 is NR_2Y .

248. The compound of Claim 245, wherein Z is OMe.

249. The compound of Claim 245, wherein X is benzylcarbamate.

10 250. The compound of Claim 245, wherein Y is 2-nitrobenzenesulfonamide.

251. The compound of Claim 245, wherein Y is 9-fluoroenylmethylcarbamate.

252. The compound of Claim 245, wherein X is benzylcarbamate, R_5 is NR_2Y , R_2 is H,
Y is 9-fluoroenylmethylcarbamate, Z is $-OMe$, and R_6 is a carboxylic acid.

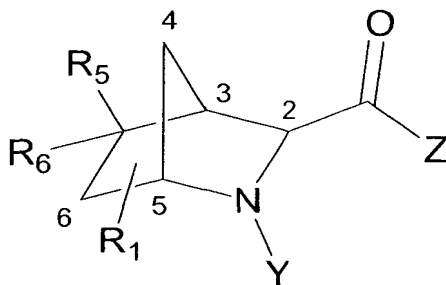
15 253. The compound of Claim 245, wherein R_1 is an alkene.

254. The compound of Claim 245, wherein R_1 is a protected carboxylate.

255. The compound of Claim 245, wherein R_1 is a protected alcohol.

256. The compound of Claim 245, wherein R_1 is a protected thiol.

20 257. A compound having the formula



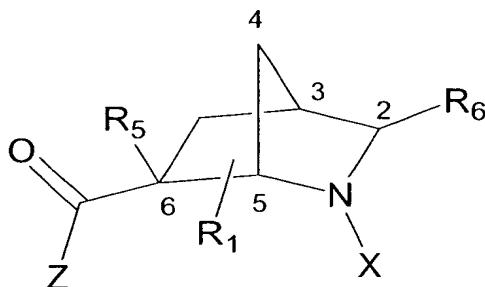
(22)

where:

X represents a first amine protecting group;
25 Y represents a second amine protecting group;
Z represents a weak leaving group;

- R_1 represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5 or 6;
- R_2 represents an H or a functional group;
- R_5 represents N_3 or NR_2X ;
- 5 R_6 represents a carboxylic acid or a strongly activated ester ; and the stereochemical configuration at the positions 2, 3, 5 and 7, and of the carbon bearing R_1 (if R_1 is not H) can be any of the 32 combinations of (R) and (S).
258. The compound of Claim 257, wherein R_5 is N_3 .
259. The compound of Claim 257, wherein R_5 is NR_2X .
- 10 260. The compound of Claim 257, wherein Z is OMe.
261. The compound of Claim 257, wherein X is benzylcarbamate.
262. The compound of Claim 257, wherein Y is 2-nitrobenzenesulfonamide.
263. The compound of Claim 257, wherein Y is 9-fluoroenylmethylcarbamate.
264. The compound of Claim 257, wherein X is benzylcarbamate, R_5 is NR_2X , R_2 is
- 15 H, Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R_6 is a carboxylic acid.
265. The compound of Claim 257, wherein R_1 is an alkene.
266. The compound of Claim 257, wherein R_1 is a protected carboxylate.
267. The compound of Claim 257, wherein R_1 is a protected alcohol.
- 20 268. The compound of Claim 257, wherein R_1 is a protected thiol.

269. A compound having the formula



(23)

25 where:

X represents a first amine protecting group;

Y represents a second amine protecting group;

Z represents a weak leaving group;

R₁ represents an H, or a functional group, and can be attached to the molecule at positions 2, 3, 4, 5 or 7;

R₂ represents an H or a functional group;

5 R₅ represents N₃ or NR₂Y;

R₆ represents a carboxylic acid or a strongly activated ester ; and

the stereochemical configuration at the positions 2, 3, 5 and 6, and of the carbon bearing R₁ (if R₁ is not H) can be any of the 32 combinations of (R) and (S).

270. The compound of Claim 269, wherein R₅ is N₃.

10 271. The compound of Claim 269, wherein R₅ is NR₂Y.

272. The compound of Claim 269, wherein Z is OMe.

273. The compound of Claim 269, wherein X is benzylcarbamate.

274. The compound of Claim 269, wherein Y is 2-nitrobenzenesulfonamide.

275. The compound of Claim 269, wherein Y is 9-fluoroenylmethylcarbamate.

15 276. The compound of Claim 269, wherein X is benzylcarbamate, R₅ is NR₂Y, R₂ is H, Y is 9-fluoroenylmethylcarbamate, Z is -OMe, and R₆ is a carboxylic acid.

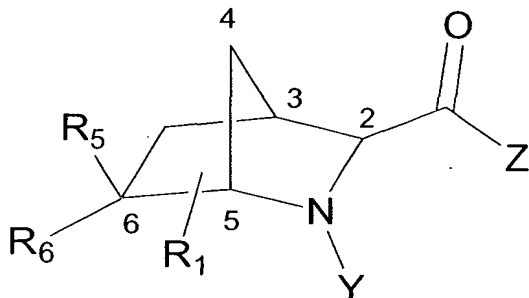
277. The compound of Claim 269, wherein R₁ is an alkene.

278. The compound of Claim 269, wherein R₁ is a protected carboxylate.

279. The compound of Claim 269, wherein R₁ is a protected alcohol.

20 280. The compound of Claim 269, wherein R₁ is a protected thiol.

281. A compound having the formula



(24)

25 where:

X represents a first amine protecting group;

